

SUB
C-1

13. A 17-Methylene steroid according to claim 1, wherein R⁴ is a halogen atom.

14. A 17-Methylene steroid according to claim 1, wherein R⁴ is an azido, rhodano or cyano group.--

REMARKS

Claim Amendments and The Claim Rejections Under Section 101 and 112

Claim 10 was amended as suggested by the examiner to be in the form of a method claim. New claims 11 and 12 also contain subject matter from original claim 10. Claim 9 is cancelled without prejudice or disclaimer. Amendments were also made to the form of the claims. No change of scope is achieved by said amendments to the form of the claims. Additional dependent claims are also entered. The amendments and the new claims find support in the specification and in the original claims. No new matter is added.

Claim Rejections Under Section 102

Claims 1-5 and 8 were rejected under section 102 for allegedly being anticipated by US 2,802,015 and US 2,789,989.

The compounds in those references contain at least one distinction from the present application. In the position of R⁴, which is defined as a halogen atom or a pseudohalogen in the present application, the references show a hydrogen atom. A hydrogen atom is neither a halogen, nor a pseudohalogen. The specification on page 4, lines 13-14, lists preferred pseudohalogen groups to be azido, rhodano and cyano.

A pseudohalogen is a well-known group of moieties in the art and is known as a group of substituents that produce compounds similar to halogens, but without any connection to any element of Group 17 of the periodic table. See the definition for pseudohalogen from www.xrefer.com citing a definition from The New Penguin Dictionary of Science, M.J. Clugston, 1998, and a second page from www.xrefer.com, which is a "results" page from a

search for the "pseudohalogen" term. Applicants also attach a reference, Pseudohalogens for Dye-Sensitized TiO₂ Photoelectrochemical Cells, J. Phys. Chem. B. 2001, 105, 6867-6873, as additional support to demonstrate that the term "pseudohalogen" is well-known in the art and it does not contain hydrogen. Well-known pseudohalogens in the art are, for example, -O-N⁺≡C⁻ (Fulminate), -S-N⁺≡C⁻ (Thiofulminate), -O-C≡N (Cyanate), -S-C≡N (Thiocyanate (Rhodanide)), -Se-C≡N (Selenocyanate), -Te-C≡N (Tellurocyanate), -N=N⁺=N⁻ (Azide), -N=C=O (Isocyanate), -N=C=S (Isothiocyanate (Senföle)), -N=C=Se (Isoselenocyanate), -N=C=Te (Isotellurocyanate), -N⁺≡C⁻ (Isocyanide), -C≡N (Cyanide), and -C≡N⁺-O⁻ (Cyanid-*N*-oxide) groups. The claimed invention is not anticipated by either of the cited documents.

Reconsideration is respectfully requested.

Attached hereto is a marked-up version of the changes made to the claims by the current amendment. The attached page is captioned "**Version With Markings To Show Changes Made**".

The Commissioner is hereby authorized to charge any fees associated with this response or credit any overpayment to Deposit Account No. 13-3402.

Respectfully submitted,



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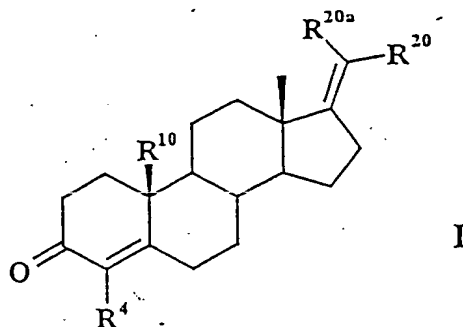
Date: October 10, 2002

VERSION WITH MARKINGS TO SHOW CHANGES MADE

IN THE CLAIMS:

Please amend the claims as follows:

1. (Amended) A 17-Methylene steroid ~~steroids~~ of ~~general~~ formula I



in which

R^4 ~~stands for~~ is a halogen atom or a pseudohalogen,

R^{10} ~~stands for~~ is a hydrogen atom or a straight-chain or branched C_{1-4} alkyl group,

R^{20} and R^{20a} are, independently of one another, ~~represent~~ a hydrogen atom, a straight-chain or branched C_{1-4} alkyl or hydroxy- C_{1-4} alkyl group, or

one of radicals R^{20} and R^{20a} ~~means~~ is a hydrogen atom, a straight-chain or branched C_{1-4} alkyl or hydroxy- C_{1-4} alkyl group, and the other radical ~~means~~ is a halogen atom or a pseudohalogen.

2. (Amended) A 17-Methylene steroids according to claim 1, ~~characterized in that~~
wherein R^4 ~~stands for~~ is a chlorine or bromine atom or a cyano group.

3. (Twice Amended) A17-Methylene steroids according to claim 1, wherein one of radicals R^{20} and R^{20a} ~~means~~ is a hydrogen atom or a methyl group, and the other radical ~~means~~ is a fluorine, chlorine or bromine atom, an azido, cyano or rhodano group or hydroxymethyl.

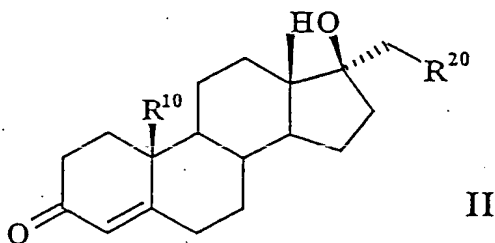
4. (Twice Amended) A17-Methylene steroids according to claim 1, wherein R^{10} ~~stands for~~ is a hydrogen atom or a methyl group.

5. (Amended) A17-Methylene steroids according to claim 1, ~~namely~~ selected from the group consisting of

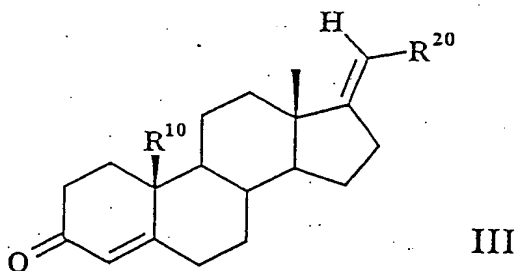
- 1) E-17-Chloromethylene-4-chloro-estr-4-en-3-one,
- 2) E-17-Cyanomethylene-4-chloro-estr-4-en-3-one,
- 3) Z-17-Cyanomethylene-4-chloro-estr-4-en-3-one,
- 4) Z-17-(1')-Cyanoethylidene-4-chloro-estr-4-en-3-one,
- 5) Z-17-Ethylidene-4-chloro-estr-4-en-3-one,
- 6) E-17-Ethylidene-4-chloro-estr-4-en-3-one,
- 7) E-17-Bromomethylene-4-chloro-estr-4-en-3-one,
- 8) Z-17-Chloroethylidene-4-chloro-estr-4-en-3-one,
- 9) Z-17-Bromoethylidene-4-chloro-estr-4-en-3-one,
- 10) E-17-Chloromethylene-4-cyano-androst-4-en-3-one,
- 11) E-17-Chloromethylene-4-chloro-androst-4-en-3-one,
- 12) E-17-(2')-Hydroxyethylidene-4-chloro-estr-4-en-3-one, and

13) Z-17-(2')-Hydroxyethylidene-4-chloro-estr-4-en-3-one.

6. (Amended) ~~Process A~~ process for the production of preparing a 17-methylene steroids according to claim 1, ~~in which~~ wherein R^{20a} ~~means is~~ is a hydrogen atom, ~~wherein~~ comprising reacting a compound of ~~general~~ formula II,

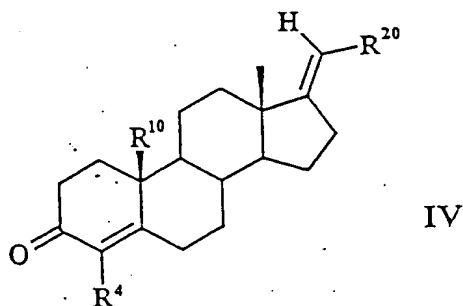


~~is reacted~~ in an aprotic solvent with an acid chloride to form a 17-methylene steroid of ~~general~~ formula III,



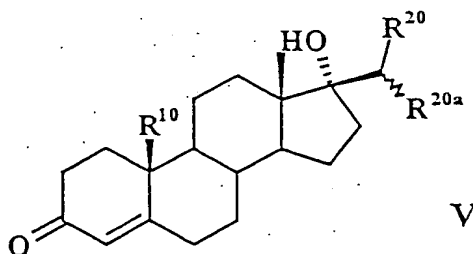
the 4,5-epoxide is generated with $H_2O_2/NaOH$, the 4,5-epoxide is then opened with a nucleophilic reagent, which is derived from a halogen atom or pseudohalogen, in a dipolar aprotic solvent to a halogen- or pseudohalogen hydrin, and optionally reacted with mineral acid,

carboxylic acid or sulfonic acid in a protic or aprotic solvent with dehydration to a compound of general formula IV, ~~in which~~

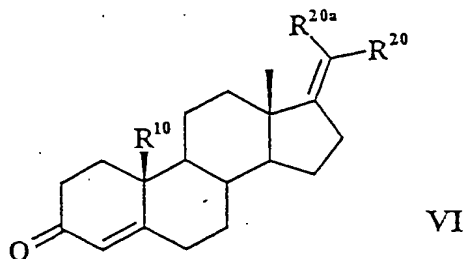


wherein R^4 stands for is a halogen atom or pseudohalogen, whereby R^{10} has the meaning indicated in claim 1 is a hydrogen atom or a straight-chain or branched C_{1-4} alkyl group, and R^{20} means is a C_{1-4} alkyl or hydroxy- C_{1-4} alkyl group, a halogen atom or a pseudohalogen.

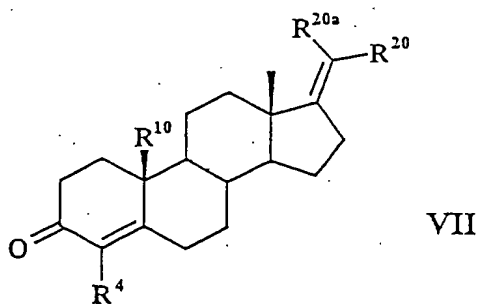
7. (Amended) ~~Process~~ A process for the production of preparing a 17-methylene steroids according to claim 1, ~~wherein~~ comprising reacting a compound of general formula V,



is ~~reacted~~ with an acid chloride in an aprotic solvent to form a methylene steroid of ~~general~~ formula VI,



the 4,5-epoxide is generated with $\text{H}_2\text{O}_2/\text{NaOH}$, the 4,5-epoxide is then opened with a nucleophilic reagent, which is derived from a halogen atom or pseudohalogen, in a dipolar aprotic solvent to a halogen- or pseudohalogen hydrin, and optionally reacted with mineral acid, carboxylic acid or sulfonic acid in a protic or aprotic solvent with dehydration to a compound of ~~general~~ formula VII,



in which R^4 ~~stands for~~ is a halogen atom or pseudohalogen, whereby R^{10} has the meaning ~~indicated in claim 1~~ is a hydrogen atom or a straight-chain or branched C_1 - C_4 alkyl group,

and R²⁰ ~~means is~~ a C₁₋₄ alkyl or hydroxy-C₁₋₄ alkyl group, and R^{20a} ~~means is~~ a hydrogen atom, a halogen atom or a pseudohalogen.

8. (Twice Amended) ~~Pharmaceutical~~ A pharmaceutical compositions ~~that contain~~ comprising at least one 17-methylene steroid according to claim 1, ~~optionally together with and a~~ pharmaceutically compatible adjuvants ~~and or~~ vehicles.

Claim 9 has been cancelled without prejudice or disclaimer.

10. (Twice Amended) ~~Use of the compounds according to claim 1 for treatment of A~~ method of treating a prostate diseases, alopecia of the male type, acne ~~and or~~ or hirsutism, ~~for~~ contraception in men and women and/or for inhibition of 5 α -reductase comprising administering to a patient in need thereof an effective amount of a compound according to claim 1.

Claims 11-14 have been newly added.